ALLEGATO B

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[Chiara Borsari]
CURRICULUM VITAE

INFORMAZIONI PERSONALI (NON INSERIRE INDIRIZZO PRIVATO E TELEFONO FISSO O CELLULARE)

COGNOME	**BORSARI**
NOME	**CHIARA**
DATA DI NASCITA	[26, SETTEMBRE, 1989]

1. Personal Information

Born: September 26th, 1989 (Modena, IT)
Nationality: Italian
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Orcid: 0000-0002-4688-8362
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Languages: Italian, native language; English, fluent; German, intermediate (B1)

2. Education

2017 (Sep.-Nov.)	Distance Course in Pharmaceutical Bioinformatics at Uppsala University (SE) *passed with distinction.*
2014 (Jan.) - 2017 (Mar.)	PhD in Medicinal Chemistry awarded by University of Modena and Reggio Emilia (IT). Defense date: 27.03.2017. *(PhD advisor: Prof. Maria P. Costi)* *Thesis title: "Drug discovery and delivery approaches for the identification and optimization of novel agents for neglected tropical diseases and tuberculosis"* *- Development of new leads for Neglected Tropical Diseases (NTDs) and Tuberculosis. New Medicines for Trypanosomatidic infections - Grant agreement n° 603240, FP7 EU project. More Medicines for Tuberculosis (MM4TB) - Grant agreement n° 260872, FP6 EU project.*
2014	National abilitation to the Profession of *Pharmacist*. University of Modena and Reggio Emilia.
2008 (Sept.) - 2013 (July)	Master Degree in Pharmacy *110/110 with honors and encomium.* University of Modena and Reggio Emilia (IT) *(Advisor: Prof. Annalisa Tait)* *Dissertation title: "Sigma ligands: synthesis and structural characterization of spiro-dioxolan and dithiolan derivatives"*

3. Further Education and Employment History

2017-present	Postdoc at the University of Basel (CH) *(Advisor: Prof. Matthias P. Wymann)* *- Development of ATP-competitive inhibitors targeting PI3K-mTOR signaling pathway.* *- Targeting mTOR kinase in cancer and neurological disease. Innosuisse grant 37213.1 IP-LS with PIQUR Therapeutics (to Matthias P. Wymann)* *- Discovery of covalent inhibitors targeting PI3Kα.*
2016 (Jul.-Dec.)	Visiting PhD Student at State University of New York, Albany (USA) *(Advisor: Prof. J. T. Welch)* *- Synthesis of polymersomes for the delivery of anti-Tuberculosis agents and a synthetic peptide with dye tag. Collaboration with the polymer science group of Prof. Chulsung Bae (Rensselaer Polytechnic Institute, Troy, NY, USA).*
2015 (Aug.-Nov.)	Visiting PhD Student at University of Bologna (IT) *(Advisor: Prof. M. L. Bolognesi)* *- Optimization of synthetic strategies for lead compounds for NTDs.*
2015 (Feb.-May)	Visiting PhD Student at National Hellenic Research Foundation, Athens (GR) *(Advisor: Dr. T. Calogeropoulou)* *- Synthesis of ether phospholipids bearing 5-membered heteroaromatic rings.*
2013 (Sept.-Oct.)	Postgraduate Student at De Montfort University, Leicester (UK) *(Advisors: Dr. R. Arroo and Dr. A. Bhambra)* *- Synthesis and chemical characterization of antimicrobial compounds.*

4. Supervision of Junior Researchers

2017-present	Supervision of Bachelor and Master Students from University of Basel and different French Universities for their Wahlpraktikum or Master thesis. Mentoring them in the lab for reaction set up, products purification and characterization. Teaching spectroscopic and analytical techniques including NMR (1D and 2D), HPLC, and MS. Supervise them in the design of novel synthetic strategies for compounds optimization and in literature search. Supervisor or co-supervisor in their Thesis. Past (2017-): 11 master students - Claudio Meyer, Parana Liyanage Dona Asela Christy and Alex Cavadini (UniBasel); Aymeric Ontani (Toulouse Graduate School of Chemical, Materials and Industrial Engineering); Jérôme Klein, Justine Schwarte, Tom Masson, Alexis Barrat, Lilian Wisson, Lucas Marchal and Axel Leblond (National College of Chemical Engineering of Mulhouse). 2 bachelor students - Pascal Knecht and Valeria Bertozzi (UniBasel). Current: 3 master students - Lucas Hernandez, Lou Bossert, and Baptiste Sauner (ENSCMu). 2 bachelor students - Antonio Vignola and Sarah Walter (UniBasel).

5. Teaching Activities

2014 (Mar.-May)	Professor Assistant in Galenic Preparations Laboratory of Prof. M. A. Vandelli, University of Modena and Reggio Emilia (IT) *- Teaching the experimental procedure to prepare the principal pharmaceutical forms and the principles of preparing medicines in order to optimize their absorption (Galenic formulation).*
2014 (Mar.-May)	Professor Assistant in Drug and Medicinal Product Analysis of Prof. A. Tait, University of Modena and Reggio Emilia (IT) *- Teaching the methods for the identification of drugs included in the European Pharmacopeia and related purity assays. Explaining techniques including melting point, UV and IR.*

6. Approved Research Projects as Collaborator

2019-2021	Targeting mTOR Kinase in Cancer and Neurological Disease. Innosuisse grant 37213.1 IP-LS with PIQUR Therapeutics (1'009'171 CHF to Matthias P. Wymann).

7. Institutional Responsibilities

2020-present	Responsible for ISQ EC Single Quad Mass Spectrometer, Rosental site (WRO-1055). Training course by the Termo Scientific Support Team.
2018-present	Responsible for personnel and instruments in the synthetic chemistry laboratory at Rosental site, Mattenstrasse 24, WRO1055.
2018-present	Lab head in the Chemistry laboratory of Prof. Matthias Wymann.

8. Membership in Boards and Scientific Reviewing Activities

2021-present	Reviewer for ChemMedChem and Frontiers in Pharmacology
2020-present	Reviewer for Journal of Medicinal Chemistry and SLAS discovery Review Editor on the Editorial Board of Frontiers in Chemistry, Medicinal and Pharmaceutical Chemistry section
2019-present	Board Member of the European Federation for Medicinal Chemistry (EFMC) Communication Team [https://www.efmc.info/communication-team] *- Promoting Medicinal Chemistry and Chemical Biology in Europe and around the globe.* Board Member of EFMC-Young Scientists Network (YSN) [https://www.efmc.info/ysn] *- Promoting EFMC-YSN aiming to inspire, connect and provide opportunities to medicinal chemists and chemical biologists in their early career and inspire young future scientists.*

9. Active Membership in Scientific Societies

2019-present	Towards more Women in Science and Technology (TWIST); American Association for Cancer Research (AACR); Women in Cancer Research (WICR).
2017-present	Swiss Chemical Society (SCS); SCS Swiss Women in Chemistry (SWC).

10. Organization of Conferences

2021	Delegate for the EFMC-YSN at the 16th European Young Chemists' Network (*EYCN*) Delegate Assembly hold on Mar. 13th.
2021	Organizing committee of IUPAC GWB2021 - Happy Hour Trivia in collaboration between IUPAC and Swiss Women in Chemistry hold on Feb. 9th.
2021	Chair at the 6th EFMC-YSN MedChemBioOnline (PROTAC and Small Molecules Development) hold on Jan. 28th.
2020	Moderator for the Virtual 7th EFMC Young Medicinal Chemists' Symposium on Sept. 10th.
2020	Board Member of organizing committee of EFMC-ISMC & EFMC-YMCS Virtual Poster Session hold on Sept. 9th. [https://www.efmc-virtual-posters.org/committees-1]
2020	Member of the poster prize jury for Drug Discovery projects at EFMC-ISMC & EFMC-YMCS.
2020	Organizing committee of EFMC-YSN MedChemBioOnline [https://www.efmc.info/efmc-ysn-medchembioonline]. MedChemBioOnline combines medicinal chemistry/chemical biology talks, soft-skills trainings and round table discussions.
2020	Organizing committee of IUPAC Global Women's Breakfast hold on Feb. 12th.

11. Prizes, Awards, Fellowships

2021 Selected speaker at the Young Scientists' Satellite (YSS) during the LS2 Annual Meeting (Feb. 17th-19th – virtual event)

2021 Selected for the Future Medicinal Chemistry Early Career Panel https://www.future-science.com/journals/fmc/earlycareerpanel

2020 Selected for antelope career program for women researchers: a competitive, compact and tailor-made career program of UniBasel, for highly qualified female postdoctoral researchers.

2020 Best poster prize - Swiss Chemical Society (2nd Anglo-Swiss Symposium, Feb. 4th – Basel).

2020 Selected for a flash talk at the Young Scientists' Satellite (YSS) during the LS2 Annual Meeting (Feb. 13th-14th – Zurich, CH)

2019 Best poster prize - Swiss Chemical Society (SCS Fall Meeting, Sept. 5th-6th – Basel).

2019 European federation of medicinal chemistry fellowship to attend the EFMC-ACSMEDI MedChem Frontiers (June 10th-13th - Krakow, PL).

2019 Best poster prize from the Swiss Society of Experimental Pharmacology (LS2 Annual Meeting, Feb. 14th-15th – Zurich).

2019 Jury prize at the Exposure Science Film Hackathon. Life in Colour

2016 PhD mobility fellowship. Host University: State University of New York, Albany (USA).

2016 Selected speaker at the VI European Workshop in Drug Synthesis (May 15th-19th – Siena, IT).

2015 Best flash communication prize from Italian Chemical Society (Dec. 18th – Modena, IT).

2015 Italian chemical society fellowship to attend the Spanish-Italian Medicinal Chemistry Congress (July 12th-15th – Barcelona, SP).

2015 SPSAS-ND3 fellowship to attend the San Paulo School of Advanced Science on Neglected Diseases Drug Discovery (June 14th-24th – Campinas-SP, BR).

2015 Cost action CM1307 fellowship (Short-Term Scientific Mission - STSM) to conduct research at the National Hellenic Research Foundation (Athens, GR).

2014 Winner of University of Modena scholarship to cover Ph.D. studies.

2014 Selected as professor assistant at the University of Modena and Reggio Emilia.

2009-2012 Best student award of Pharmacy Faculty.

12. Publications in International Peer-Reviewed Scientific Journals

27. **Borsari C**, Keles E, Treyer A, De Pascale M, Hebeisen P, Hamburger M, Wymann MP. Second-generation tricyclic pyrimido-pyrrolo-oxazine mTOR inhibitor with predicted blood–brain barrier permeability. *RSC Med. Chem.* **2021**, doi: 10.1039/D0MD00408A

26. Rathinaswamy MK, Gaieb Z, Fleming KD, **Borsari C**, Harris NJ, Moeller BE, Wymann MP, Amaro RE, Burke JE. Disease-related mutations in PI3Kγ disrupt regulatory C-terminal dynamics and reveal a path to selective inhibitors. *Elife*. **2021**, 10, e64691. doi: 10.7554/eLife.64691

25. **Borsari C**, Keles E, Rageot D, De Pascale M, Treyer A, Bohnacker T, Melone A, Sriramaratnam R, Beaufils F, Hamburger M, Hebeisen P, Löscher W, Fabbro D, Hillmann P, Wymann MP. 4-(Difluoromethyl)-5-(4-((3R,5S)-3,5-dimethylmorpholino)-6-((R)-3-methylmorpholino)-1,3,5-triazin-2-yl)pyridin-2-amine (PQR626), a Potent, Orally Available, and Brain-Penetrant mTOR Inhibitor for the Treatment of Neurological Disorders. *J Med Chem.* **2020**, 63 (22), 13595-13617. doi: 10.1021/acs.jmedchem.0c00620

24. Linciano P, Cullia G, **Borsari C**, Santucci M, Ferrari S, Witt G, Gul S, Kuzikov M, Ellinger B, Santarém N, Cordeiro da Silva A, Conti P, Bolognesi ML, Roberti M, Prati F, Bartoccini F, Retini M, Piersanti G, Cavalli A, Goldoni L, Bertozzi SM, Bertozzi F, Brambilla E, Rizzo V, Piomelli D, Pinto A, Bandiera T, Costi MP. Identification of a 2,4-Diaminopyrimidine Scaffold Targeting Trypanosoma brucei Pteridine Reductase 1 from the LIBRA Compound Library Screening Campaign. *Eur J Med Chem.* **2020**, 189, 112047. doi: 10.1016/j.ejmech.2020.112047

23. Franchini S, Linciano P, Puja G, Tait A, **Borsari C**, Denora N, Iacobazzi RM, Brasili L, Sorbi C. Novel Dithiolane-Based Ligands Combining Sigma and NMDA Receptor Interactions as Potential

Neuroprotective Agents. *ACS Med Chem Lett.* **2020**, 11 (5), 1028-1034. doi: 10.1021/acsmedchemlett.0c00129

22. **Borsari C**, Trader DJ, Tait A, Costi MP. Designing Chimeric Molecules for Drug Discovery by Leveraging Chemical Biology. *J Med Chem*. **2020**, 63 (5), 1908-1928. doi: 10.1021/acs.jmedchem.9b01456

21. **Borsari C**, Rageot D, Beaufils F, Bohnacker T, Keles E, Buslov I, Melone A, Sele AM, Hebeisen P, Fabbro D, Hillmann P, Wymann MP. Preclinical Development of PQR514, a Highly Potent PI3K Inhibitor Bearing a Difluoromethyl-Pyrimidine Moiety. *ACS Med Chem Letters* **2019**, 10 (10), 1473-1479. doi: 10.1021/acsmedchemlett.9b00333

20. Rageot D, Beaufils F, **Borsari C**, Dall'Asen A, Neuburger M, Hebeisen P, Wymann MP. A Scalable, Economical and Safe Synthesis of 4-(Difluoromethyl)pyridin-2-amine, a Key Intermediate for Lipid Kinase Inhibitors. *Org. Process Res. Dev.* **2019**, 23, 11, 2416-2424. doi: 10.1021/acs.oprd.9b00312

19. **Borsari C**, Rageot D, Dall'Asen A, Bohnacker T, Melone A, Sele AM, Jackson E, Langlois JB, Beaufils F, Hebeisen P, Fabbro D, Hillmann P, Wymann MP. A Conformational Restriction Strategy for the Identification of a Highly Selective Pyrimido-Pyrrolo-Oxazine mTOR Inhibitor. *J Med Chem.* **2019**, 62 (18), 8609-8630. *doi: 10.1021/acs.jmedchem.9b00972*

18. Rageot D, Bohnacker T, Keles E, McPhail JA, Hoffmann RM, Melone A, **Borsari C**, Sriramaratnam R, Sele AM, Beaufils F, Hebeisen P, Fabbro D, Hillmann P, Burke JE, Wymann MP. (S)-4-(Difluoromethyl)-5-(4-(3-methylmorpholino)-6-morpholino-1,3,5-triazin-2-yl)pyridin-2-amine (PQR530), a Potent, Orally Bioavailable and Brain Penetrable Dual Inhibitor of Class I PI3K and mTOR Kinase. *J Med Chem.* **2019**, 62 (13), 6241-6261. doi: 10.1021/acs.jmedchem.9b00525

17. **Borsari C**, Jiménez-Antón MD, Eick J, Bifeld E, Torrado JJ, Olías-Molero AI, Corral MJ, Santarem N, Baptista C, Severi L, Gul S, Wolf M, Kuzikov M, Ellinger B, Reinshagen J, Witt G, Linciano P, Tait A, Costantino L, Luciani R, Tejera Nevado P, Zander-Dinse D, Franco CH, Ferrari S, Moraes CB, Cordeiro-da-Silva A, Ponterini G, Clos J, Alunda JM, Costi MP. Discovery of a Benzothiophene-flavonol Halting Miltefosine and Antimonial Drug Resistance in Leishmania Parasites Through the Application of Medicinal Chemistry, Screening and Genomics. *Eur J Med Chem*. **2019**, 183, 111676. *doi: 10.1016/j.ejmech.2019.111676*

16. Landi G, Linciano P, **Borsari C**, Bertolacini CP, Moraes CB, Cordeiro-da-Silva A, Gul S, Witt G, Kuzikov M, Costi MP, Pozzi C, Mangani S. Structural Insights into the Development of Cycloguanil Derivatives as Trypanosoma brucei Pteridine Reductase 1 Inhibitors. *ACS Infect. Dis.* **2019**, 5 (7), 1105-1114. doi: 10.1021/acsinfecdis.8b00358

15. **Borsari C**, Santarem N, Macedo S, Jiménez-Antón MD, Torrado JJ, Olías-Molero AI, Corral MJ, Tait A, Ferrari S, Costantino L, Luciani R, Ponterini G, Gul S, Kuzikov M, Ellinger B, Behrens B, Reinshagen J, Alunda JM, Cordeiro-da-Silva A, Costi MP. SAR Studies and Biological Characterization of a Chromen-4-one Derivative as an Anti-Trypanosoma brucei Agent. *ACS Med Chem Letters.* **2019**, 10 (4), 528-533. doi: 10.1021/acsmedchemlett.8b00565

14. Vanossi D, Caselli M, Pavesi G, **Borsari C**, Linciano P, Costi MP, Ponterini G. Excited-state Intramolecular Proton Transfer in a Bioactive Flavonoid Provides Fluorescence Observables for Recognizing its Engagement with Target Proteins. *Photochem Photobiol Sci.* **2019**, 18, 2270-2280. doi: 10.1039/c9pp00026g

13. Moraes CB, Witt G, Kuzikov M, Ellinger B, Calogeropoulou T, Prousis KC, Mangani S, Di Pisa F, Landi G, Iacono LD, Pozzi C, Freitas-Junior LH, Dos Santos Pascoalino B, Bertolacini CP, Behrens B, Keminer O, Leu J, Wolf M, Reinshagen J, Cordeiro-da-Silva A, Santarem N, Venturelli A, Wrigley S, Karunakaran D, Kebede B, Pöhner I, Müller W, Panecka-Hofman J, Wade RC, Fenske M, Clos J, Alunda JM, Corral MJ, Uliassi E, Bolognesi ML, Linciano P, Quotadamo A, Ferrari S, Santucci M, **Borsari C**, Costi MP, Gul S. Accelerating Drug Discovery Efforts for Trypanosomatidic Infections Using an Integrated Transnational Academic Drug Discovery Platform. *SLAS Discovery*. **2019**, 24 (3), 346-361. doi: 10.1177/2472555218823171

12. Rageot D, Bohnacker T, Melone A, Langlois JB, **Borsari C**, Hillmann P, Sele AM, Beaufils F, Zvelebil M, Hebeisen P, Löscher W, Burke J, Fabbro D, Wymann MP. Discovery and Preclinical Characterization of 5-[4,6-Bis({3-oxa-8-azabicyclo[3.2.1]octan-8-yl})-1,3,5-triazin-2-yl]-4-(difluoromethyl)pyridin-2-amine (PQR620), a Highly Potent and Selective mTORC1/2 Inhibitor for Cancer and Neurological Disorders. J Med Chem. **2018**, 61 (22), 10084-10105. doi: 10.1021/acs.jmedchem.8b01262

11. Uliassi E, Piazzi L, Belluti F, Mazzanti A, Kaiser M, Brun R, Moraes CB, Freitas-Junior LH, Gul S, Kuzikov M, Ellinger B, **Borsari C**, Costi MP, Bolognesi ML. Development of a Focused Library of Triazole-Linked Privileged-Structure-Based Conjugates Leading to the Discovery of Novel Phenotypic Hits against Protozoan Parasitic Infections. *ChemMedChem.* **2018**, 13 (7), 678-683. doi: 10.1002/cmdc.201700786

10. Beaufils F, Cmiljanovic N, Cmiljanovic V, Bohnacker T, Melone A, Marone R, Jackson E, Zhang X, Sele A, **Borsari C**, Mestan J, Hebeisen P, Hillmann P, Giese B, Zvelebil M, Fabbro D, Williams RL, Rageot D, Wymann MP. 5-(4,6-Dimorpholino-1,3,5-triazin-2-yl)-4-(trifluoromethyl)pyridin-2-amine (PQR309), a Potent, Brain-Penetrant, Orally Bioavailable, Pan-Class I PI3K/mTOR Inhibitor as Clinical Candidate in Oncology. J Med Chem. **2017**, 60 (17), 7524-7538. doi: 10.1021/acs.jmedchem.7b00930

9. Uliassi E, Fiorani G, Krauth-Siegel RL, Bergamini C, Fato R, Bianchini G, Carlos Menéndez J, Molina MT, López-Montero E, Falchi F, Cavalli A, Gul S, Kuzikov M, Ellinger B, Witt G, Moraes CB, Freitas-Junior LH, **Borsari C**, Costi MP, Bolognesi ML. Crassiflorone Derivatives that Inhibit Trypanosoma brucei Glyceraldehyde-3-phosphate Dehydrogenase (TbGAPDH) and Trypanosoma cruzi Trypanothione Reductase (TcTR) and Display Trypanocidal Activity. *Eur J Med Chem*. **2017**, 141, 138-148. doi: 10.1016/j.ejmech.2017.10.005

8. Di Pisa, F Landi G, Dello Iacono L, Pozzi C, **Borsari C**, Ferrari S, Santucci M, Santarem N, Cordeiro-da-Silva A, Moraes CB, Alcantara LM, Fontana V, Freitas-Junior LH, Gul S, Kuzikov M, Behrens B, Pöhner I, Wade RC, Costi MP, Mangani S. Chroman-4-One Derivatives Targeting Pteridine Reductase 1 and Showing Anti-Parasitic Activity. *Molecules.* **2017**, 22 (3), E426. doi: 10.3390/molecules22030426

7. **Borsari C**, Santarem N, Torrado J, Olías AI, Corral MJ, Baptista C, Gul S, Wolf M, Kuzikov M, Ellinger B, Witt G, Gribbon P, Reinshagen J, Linciano P, Tait A, Costantino L, Freitas-Junior LH, Moraes CB, Bruno Dos Santos P, Alcântara LM, Franco CH, Bertolacini CD, Fontana V, Tejera Nevado P, Clos J, Alunda JM, Cordeiro-da-Silva A, Ferrari S, Costi MP. Methoxylated 2'-Hydroxychalcones as Antiparasitic Hit Compounds. *Eur J Med Chem*. **2017**, 126, 1129-1135. doi: 10.1016/j.ejmech.2016.12.017

6. **Borsari C**, Ferrari S, Venturelli A, Costi MP. Target-based Approaches for the Discovery of New Antimycobacterial Drugs. Drug Discov Today. **2017**, 22, (3), 576-584. doi: 10.1016/j.drudis.2016.11.014

5. Luciani R, Saxena P, Surade S, Santucci M, Venturelli A, **Borsari C**, Marverti G, Ponterini G, Ferrari S, Blundell TL, Costi MP. Virtual Screening and X-ray Crystallography Identify Non-Substrate Analog Inhibitors of Flavin-Dependent Thymidylate Synthase. *J Med Chem*. **2016**, 59 (19), 9269-9275. doi: 10.1021/acs.jmedchem.6b00977

4. Catalano A, Luciani R, Carocci A, Cortesi D, Pozzi C, **Borsari C**, Ferrari S, Mangani S. X-ray Crystal Structures of Enterococcus faecalis Thymidylate Synthase with Folate Binding Site Inhibitors. *Eur J Med Chem.* **2016**, 123, 649-64. doi: 10.1016/j.ejmech.2016.07.066

3. **Borsari C**, Luciani R, Pozzi C, Poehner I, Henrich S, Trande M, Cordeiro-da-Silva A, Santarem N, Baptista C, Tait A, Di Pisa F, Dello Iacono L, Landi G, Gul S, Wolf M, Kuzikov M, Ellinger B, Reinshagen J, Witt G, Gribbon P, Kohler M, Keminer O, Behrens B, Costantino L, Tejera Nevado P, Bifeld E, Eick J, Clos J, Torrado J, Jiménez-Antón MD, Corral MJ, Alunda JM, Pellati F, Wade RC, Ferrari S, Mangani S, Costi MP. Profiling of Flavonol Derivatives for the Development of Anti-Trypanosomatidic Drugs. J Med Chem. **2016**, 59 (16), 7598-616. doi: 10.1021/acs.jmedchem.6b00698

2. Siragusa L, Luciani R, **Borsari C**, Ferrari S, Costi MP, Cruciani G, Spyrakis F. Comparing Drug Images and Repurposing Drugs with BioGPS and FLAPdock: The Thymidylate Synthase Case. *ChemMedChem.* **2016**, 11 (15), 1653-66. doi: 10.1002/cmdc.201600121

1. Franchini S, Battisti UM, Prandi A, Tait A, **Borsari C**, Cichero E, Fossa P, Cilia A, Prezzavento O, Ronsisvalle S, Aricò G, Parenti C, Brasili L. Scouting New Sigma Receptor Ligands: Synthesis, Pharmacological Evaluation and Molecular Modeling of 1,3-Dioxolane-based Structures and Derivatives. *Eur J Med Chem.* **2016**, 112, 1-19. doi: 10.1016/j.ejmech.2016.01.059

13. Peer-Reviewed Books

1. **Borsari C**, Quotadamo A, Ferrari S, Venturelli A, Cordeiro-da-Silva A, Santarem N, Costi MP. Scaffolds and Biological Targets Avenue to Fight Against Drug Resistance in Leishmaniasis, Annual Reports in Medicinal Chemistry, Neglected Diseases: Extensive Space for Modern Drug Discovery, Volume 51, Elsevier, **2018**. doi: 10.1016/bs.armc.2018.08.002

14. Patents and Licenses

1. Costi MP, Costantino L, **Borsari C**, Ferrari S, Alunda Rodriguez JM, Cordeiro-da-Silva A. Novel Molecules with Anti-Parasitic Activity. Italian Patent: 102017000028966.

15. Peer-Reviewed Conference Proceedings

1. **Borsari C**, Keles E, Rageot D, Melone A, Bohnacker T, Batchelor LK, De Pascale M, Hebeisen P, Hillmann P, Fabbro D, Wymann M. Discovery and Preclinical Characterization of PQR626: A Potent, Orally Available, and Brain-Penetrant mTOR Inhibitor for the Treatment of Tuberous Sclerosis Complex. In: Proceedings of the Annual Meeting of the American Association for Cancer Research 2020; 2020 Apr 27-28 and Jun 22-24. Philadelphia (PA): AACR; Cancer Res **2020**, 80 (16 Suppl), Abstract nr 665.

3. **Borsari C**, Keles E, De Pascale M, Treyer A, Sriramaratnam R, Hamburger H, Hebeisen P, Fabbro D, Hillmann P, Wymann MP. Targeted Therapy for Neurological Disorders: A Novel, Orally Available, and Brain-Penetrant mTOR Inhibitor (PQR626). SCS Fall Meeting. 2020 Aug 25. CHIMIA 7-8/**2020**. Abstract nr MC-102.

2. **Borsari C**, Keles E, Rageot D, Wymann MP. Precision Drugs: A Covalent Strategy to Minimize Side Effects of PI3K Inhibitor Cancer Therapy. EFMC - ACSMEDI Medicinal Chemistry Frontiers. **2019** June 10-13. Abstract nr P009.

1. **Borsari C**, Keles E, Buslov I, Rageot D, Wymann MP. Precision Drugs: A Covalent Strategy to Minimize Side Effects of PI3K Inhibitor Cancer Therapy. SCS Fall Meeting. **2019** Sept 6. CHIMIA 7-8/2019. Abstract nr MC-108.

16. Oral Contributions to Conferences (Talk or Poster as Presenting Author)

22. **Borsari C**, Keles E., McPhail J., Schäfer A., Sriramaratnam R., De Pascale M., Gstaiger M., Burke J., Wymann M.P. "Precision Drugs: A Rational Approach to Covalent PI3Kα Inhibitors" DMCCB Basel Symposium 2021, Basel (VIRTUAL), February 4th 2021 (poster).

21. **Borsari C**, Keles E., McPhail J., Schäfer A., Sriramaratnam R., Gstaiger M., Burke J., Wymann M.P. "From Pan to PI3Kα-Selective Inhibitors: A Covalent Strategy To Fine-Tune Isoform-Specific Targeting" LS2 Annual Meeting and Young Scientist's Satellite, VIRTUAL, February 17th-19th 2021, poster and oral presentation. Selected for **Flash Talk** at the Young Scientist's Satellite (poster + talk).

20 **Borsari C**, Keles E., McPhail J., Schäfer A., Sriramaratnam R., Gstaiger M., Burke J., Wymann M.P. "From Pan to PI3Kα-Selective Inhibitors: A Covalent Strategy To Fine-Tune Isoform-Specific

Targeting" Frontiers in Medicinal Chemistry, GDCh-Division Medicinal Chemistry, VIRTUAL, March 8th-10th 2021 (poster).

19 **Borsari C**, Keles E., Treyer A., De Pascale M., Hebeisen P., Hamburger M., Wymann M.P. "Second-generation tricyclic pyrimido-pyrrolo-oxazine mTOR inhibitors suitable for the treatment of CNS disorders" In: Proceedings of the Annual Meeting of the American Association for Cancer Research 2021; 2021 Apr 10-15 and May 17-21, VIRTUAL.

18 **Borsari C**, Keles E., Rageot D., Bohnacker T., Melone A., Batchelor L.K., De Pascale M., Hebeisen P., Hillmann P., Fabbro D., Wymann M.P. "Targeted Therapy for Neurological Disorders: A Novel, Orally Available, and Brain-Penetrant mTOR Inhibitor (PQR626)" EFMC-ISMC Virtual Event 2020, September 7th-11th 2020 (poster).

17 **Borsari C**, Keles E, De Pascale M, Treyer A, Sriramaratnam R, Hamburger H, Hebeisen P, Fabbro D, Hillmann P, Wymann MP. "Targeted Therapy for Neurological Disorders: A Novel, Orally Available, and Brain-Penetrant mTOR Inhibitor (PQR626)." SCS Fall Meeting. August 25th 2020. CHIMIA 7-8/2020. Abstract nr MC-102 (poster).

16. **Borsari C**, Keles E, Rageot D, Melone A, Bohnacker T, Batchelor LK, De Pascale M, Hebeisen P, Hillmann P, Fabbro D, Wymann M. Discovery and Preclinical Characterization of PQR626: A Potent, Orally Available, and Brain-Penetrant mTOR Inhibitor for the Treatment of Tuberous Sclerosis Complex. Annual Meeting of the American Association for Cancer Research 2020; **2020** Jun 22-24. San Diego (USA, Virtual event).

15. **Borsari C**, Keles E, De Pascale M, Treyer A, Sriramaratnam R, Hamburger H, Hebeisen P, Fabbro D, Hillmann P, Wymann MP. Targeted Therapy for Neurological Disorders: A Novel, Orally Available, and Brain-Penetrant mTOR Inhibitor (PQR626). SCS Fall Meeting. **2020** Aug 25. Virtual Event. Abstract nr MC-102. (poster)

14. **Borsari C**, Keles E, Rageot D, Bohnacker T, Melone A, Batchelor LK, De Pascale M, Hebeisen P, Hillmann P, Fabbro D, Wymann MP. Targeted Therapy for Neurological Disorders: A Novel, Orally Available, and Brain-Penetrant mTOR Inhibitor (PQR626). 2nd Anglo-Swiss Symposium 2020. **2020** Feb 4. Basel, CH. (poster – **best poster award**)

13. **Borsari C**, Keles E, Rageot D, Bohnacker T, Melone A, Batchelor LK, De Pascale M, Hebeisen P, Hillmann P, Fabbro D, Wymann MP. Targeted Therapy for Neurological Disorders: A Novel, Orally Available, and Brain-Penetrant mTOR Inhibitor (PQR626). LS2 Annual Meeting 2020, Young Scientists' Satellite Meeting. **2020** Feb 12-14. Zurich, CH. (talk + poster)

12. **Borsari C**, Rageot D, Beaufils F, Bohnacker T, Keles E, Buslov I, Melone A, Sele AM, Hebeisen P, Fabbro D, Hillmann P, Wymann MP. Preclinical Development of PQR514, a Highly Potent PI3K Inhibitor Bearing a Difluoromethyl-Pyrimidine Moiety. 4th BBC Annual Meeting on: Personalized Breast Cancer Treatments. **2019** Nov 14-15. Basel, CH. (poster)

11. **Borsari C**, Keles E, Buslov I, Rageot D, Wymann MP. Precision Drugs: A Covalent Strategy to Minimize Side Effects of PI3K Inhibitor Cancer Therapy. SCS Fall Meeting. **2019** Sept 6. Zurich, CH. (poster - **best poster award**)

10. **Borsari C**, Keles E, Rageot D, Wymann MP. Precision Drugs: Strategy to Minimize Side Effects of PI3K Inhibitor Cancer Therapy. LS2 Annual Meeting 2019. **2019** Feb 14-15. Zurich, CH. (poster - **best poster award**)

9. **Borsari C**, Keles E, Rageot D, Wymann MP. Precision Drugs: Strategy to Minimize Side Effects of PI3K Inhibitor Cancer Therapy. 3th BBC Annual Meeting on: Personalized Breast Cancer Treatments. **2018** Nov 15-16. Basel, CH. (poster)

8. **Borsari C**, Rageot D, Bohnacker T, Melone A, Beaufils F, Mestan J, Langlois JB, Hillmann P, Hebeisen P, Fabbro D, Wymann MP. Pre-clinical development of PQR620, a highly potent and

selective mTORC1/2 inhibitor. LS2 Annual Meeting 2018. **2018** Feb 12-13. Lausanne, CH. (poster)

7. **Borsari C**, Rageot D, Bohnacker T, Melone A, Beaufils F, Mestan J, Langlois JB, Hillmann P, Hebeisen P, Fabbro D, Wymann MP. Pre-clinical development of PQR620, a highly potent and selective mTORC1/2 inhibitor. 2nd BBC Annual Meeting on: Personalized Breast Cancer Treatments. **2017** Nov 16-17. Basel, CH. (poster)
6. **Borsari C** and Costi MP. Profiling of flavonol derivatives for the development of anti-trypanosomatidic drugs. Synergy Meeting - FP7-HEALTH-2013-2.2.4-2 Drug Development for Neglected Parasitic Diseases. **2016** June 16-17. Modena, IT (talk)
5. **Borsari C** et. al. Synthesis of novel ether phospholipids derivatives bearing 5-membered heteroaromatic rings. Sixth European Workshop in Drug Synthesis. **2016** May 15-19. Siena, IT (talk)
4. **Borsari C** et. al. Flavonoids, flavonols and flavonoid-like compounds as antiparasitic leads. New Medicines for Trypanosomatic Infections, Scientific Meeting of the FP7 cooperation project NMTrypI. **2015** Sept 9-11. Hamburg, DE. (talk)
3. **Borsari C** et. al. Flavonoid-like compounds as antitrypanosoma candidates. SIMCC - Spanish-Italian Medicinal Chemistry congress. **2015** July 12-15. Barcelona, ES. (poster)
2. **Borsari C** et. al. Flavonoids and flavonoid-like compounds as candidates to face neglected tropical diseases. SPSAS-ND3 - Sao Paulo School of Advanced Science on Neglected Diseases Drug Discovery. **2015** June 14-24. Campinas-SP, BR. (poster)
1. **Borsari C** et. al. Design and synthesis of flavonoid derivatives as PTR1 inhibitors. Drug development for neglected parasitic diseases FP7 Meeting. **2014** Sept 17-19. Porto, PT.

17. Outreach Activities (Public Engagement in Science and Scientific Art Performances)

5. Exposure Science Film Hackathon, **2019** Feb 1-3. Basel, CH. Establishing networks between science and society and creating a short movie to summarize the importance of my research to a general scientific community and cancer patients alike. Short movie title: “Life in Colour” https://www.youtube.com/watch?v=NH49QbmW5Cg (**jury prize**)
4. Board Member of organizing committee of IUPAC Global Women's Breakfast supported by Swiss Women in Chemistry and responsible for producing a GWB Welcome Video. **2020**, Feb 12.
3. Responsible for the organizing the Element of Life (**2019**) and Back to Lab (**2020**) photo competitions (as Board Member of the European Federation of Medicinal Chemistry – EFMC – communication team). https://www.efmc.info/photo-competition Responsible for promoting this scientific art performance on Linkedin and Twitter.
2. Responsible for “I am a Medicinal Chemist/Chemical Biologist” interviews (**2019-2020**, as Board Member of EFMC communication team). https://www.efmc.info/interviews-efmc
1. Responsible for the LinkedIn page of EFMC and EFMC-Young Scientists Network (EFMC-YSN) to promote medicinal chemistry.

18. General Contribution to Science (Founder of Networks and Training Programs)

2. Funder of EFMC-YSN MedChemBioOnline (as Board Member of EFMC-Young Scientists Network), a series of monthly webinars which combine science, soft-skills trainings and round table discussions. This series of webinars has been created in April **2020** to meet the current needs of our scientific community to continue interacting and sharing ideas and innovation. https://www.efmc.info/efmc-ysn-medchembioonline

1. Establishment of EFMC Mentoring Program for PhD and Post-Doc as Board Member of EFMC-YSN. Selection of mentors and applications revision. Program start: **2021**, Jan.

19. Major Scientific Achievements During PostDoc

My postdoc research interest is mainly focused on the development of novel inhibitors targeting the PI3K-mTOR signaling pathway. My major scientific achievements include:

- Design of structure-based drug discovery strategies followed by lead optimization for the generation of highly potent PI3K and mTOR inhibitors. Development of preclinical molecules *[Borsari, ACS Med Chem Letters 2019, Rageot J Med Chem. 2019]* as follow-up compounds of the clinical candidate PQR309 *[Beaufils, J Med Chem. 2019].*
- Synthetic routes optimization and scale up for the preparation of an essential building block for kinase inhibitors *[Rageot, Org. Process Res. Dev. 2019].*
- Map of amino acid residues differences in the ATP-binding pocket of PI3K and mTOR for the design and development of a highly selective mTOR inhibitor, PQR620 *[Rageot, J Med Chem. 2018].* This molecule significantly inhibits tumor growth and attenuates epileptic seizures in a *Tuberous Sclerosis Complex* mouse model. It has found application in different models, including a mouse model of acquired partial epilepsy and a cell model of Huntington disease (see publication from Singer Neuropharmacology 2020, Theilmann Neuropharmacology 2020, Gericke Neuropharmacology 2020, Tarantelli Cancers Basel 2019, Brandt Neuropharmacology 2018).
- Development of a rigidification strategy for the generation of highly selective mTOR inhibitors. Optimization of the synthetic routes and construction of a library of conformationally restricted pyrimido-pyrrolo-oxazine compounds *[Borsari, J Med Chem. 2019].*
- Scaffold optimization of PQR620 considering the metabolic hot spots of the molecule. Discovery of an optimized mTOR inhibitor, PQR626 *[Borsari, J Med Chem. 2020, accepted]*.
- Propose a covalent strategy to a target distal cysteine in the ATP-binding site of PI3Kα with drug-like molecules.
- Production of chemical probes irreversibly targeting PI3Kα to dissect the role of PI3K isoforms in cancer and metabolism.

20. Major Scientific Achievements During PhD

My PhD research interest was mainly focused on the development of novel agents for Neglected Tropical Diseases (NTDs) and Tuberculosis. My major scientific achievements include:

- Phenotypic screenings for the identification of hit compounds and chemical decoration of the selected scaffolds for hit-to-lead processes *[Linciano, Eur J Med Chem 2020; Borsari, ACS Med Chem Letters 2019; Borsari, Eur J Med Chem 2017].*
- Target-based drug discovery focusing on parasitic Pteridine Reductase 1, Glyceraldehyde-3-phosphate Dehydrogenase and Trypanothione Reductase. Development of anti-parasitic compounds with optimized profiles *[Landi, ACS Infect Dis 2019; Uliassi Eur J Med Chem 2017; Borsari J Med Chem 2016].*
- Elucidation of binding mode of small-molecule inhibitors into targeted proteins to guide structure-based drug design *[Di Pisa Molecules. 2017, Luciani J Med Chem. 2016, Catalano Eur J Med Chem. 2016, Siragusa ChemMedChem. 2016].*
- Optimization and preclinical development of a lead compound for NTDs with a broad spectrum of activity *[Borsari, Eur J Med Chem 2019].*
- Generation of compounds libraries using privileged scaffolds *[Uliassi, ChemMedChem. 2018].*
- Design and development of chemical tools for (i) probe-free fluorometric investigations of the biological target(s) within a pathogen cellular environment *[Vanossi, Photochem Photobiol Sci.*

2019]; (ii) the creation of an integrated transnational academic drug discovery platform *[Moraes, SLAS Discovery. 2019].*

21. Collaborations

Mainly during Postdoc:

- Prof. Matthias Gstaiger, Institute for Molecular Systems Biology, ETHZ, Zurich (proteome mass spectrometry).
- Prof. John Burke, University of Victoria, Canada (structural biology, X-ray and dynamic methods such a proton-deuterium exchange mass spectroscopy). See publications.
- Dr. Daniel Heller, Sloan Kettering Institute, New York, USA (nanotube optical sensors and nanoparticle synthesis).
- Prof. Wolfgang Löscher, University of Veterinary Medicine Hannover, and Center for Systems Neuroscience (Hannover, DE). See publications.
- Prof. D. Gillingham, University of Basel, Department of Chemistry.
- Prof. M. Hamburger, University of Basel, Pharmazentrum. See publications.
- Prof. A. Schmidt, University of Basel, Biozentrum.
- Prof. Mohamed Bentires-Alj, University of Basel, DBM.
- Pharma: PIQUR Therapeutic AG (see publications) and Israel Institute for Biological Research (IIBR, Ness Ziona, IL).

Mainly during PhD (see publications):

- Dr. L. H. Freitas-Junior and Dr. C. B. Moraes, Brazilian Center for Research in Energy and Materials (CNPEM, Campinas-SP, BR).
- Dr. S. Gul, Fraunhofer Institute for Molecular Biology and Applied Ecology-ScreeningPort (Hamburg, DE).
- Dr. T. Calogeropoulou, National Hellenic Research Foundation, Institute of Biology, Medicinal Chemistry and Biotechnology (Athens, GR).
- Prof. Anabela Cordeiro-da-Silva, Instituto de Investigação e Inovação em Saúde, Universidade do Porto and Institute for Molecular and Cell Biology (Porto, PO).
- Prof. Stefano Mangani, University of Siena, Department of Biotechnology, Chemistry and Pharmacy (Siena, IT).
- Prof. Rebecca C. Wade, Heidelberg University, Interdisciplinary Center for Scientific Computing (Heidelberg, DE).
- Prof. M. L. Bolognesi, University of Bologna (Bologna, IT).
- Prof. M. P. Costi, University of Modena and Reggio Emilia (Modena, IT).
- Prof. J. Clos, Bernhard Nocht Institute for Tropical Medicine (Hamburg, DE)
- Prof. J. M. Alunda, Complutense University of Madrid (Madrid, ES).
- Dr. S. Wrigley, Hypha Discovery Ltd (UK).

Data	16.03.2021	Luogo	Basilea